

Access DB# 182851

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Wayne C. Jones Examiner #: 71299 Date: 21 MAR 06
Art Unit: 164 Phone Number: 301-512-0512 Serial Number: 106724, 844
Mail Box and Bldg/Room Location: (360) (3C70 and 3887) Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need. MEJ

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: see attached sheet

Inventors (please provide full names): _____

Earliest Priority Filing Date: 11

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search claims 1, 4, and 14
(Please note the proviso of claim 1)

STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: _____	NA Sequence (#) _____	STN _____
Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) _____	Questel/Orbit _____
Date Searcher Picked Up: _____	Bibliographic _____	Dr. Link _____
Date Completed _____	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time _____	Fulltext _____	Sequence Systems _____
Clencal Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time _____	Other _____	Other (specify) _____



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number

TO: Dwayne C Jones
Location: rem/3B87/3C70
Art Unit: 1614
Tuesday, March 28, 2006

Case Serial Number: 10/724844

From: Paul Schulwitz
Location: Biotech-Chem Library
REM-1A65
Phone: 571-272-2527

Paul.schulwitz@uspto.gov

Search Notes

Examiner Jones,

Please review the attached search results.

If you have any questions or if you would like to refine the search query, please feel free to contact me at any time.

Thank you for using STIC search services!

Paul Schulwitz
Technical Information Specialist
REM-1A65
571-272-2527

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STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact *the searcher or contact:*

Mary Hale, Information Branch Supervisor
Remsen Bldg. 01 D86
571-272-2507

Voluntary Results Feedback Form

➤ I am an examiner in Workgroup: Example: 1610

➤ Relevant prior art **found**, search results used as follows:

- ☐ 102 rejection
- ☐ 103 rejection
- ☐ Cited as being of interest.
- ☐ Helped examiner better understand the invention.
- ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
(journal articles, conference proceedings, new product announcements etc.)

➤ Relevant prior art **not found**:

- ☐ Results verified the lack of relevant prior art (helped determine patentability).
- ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC-Biotech-Chem Library Remsen Bldg.

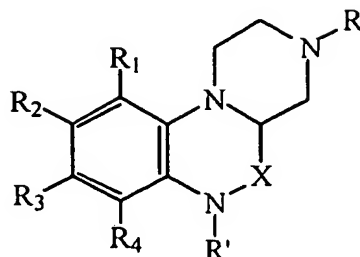


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WHAT IS CLAIMED:

1.

A compound of formula I having the structure



I

5 wherein

R is hydrogen or alkyl of 1-6 carbon atoms;

R' is hydrogen, alkyl of 1-6 carbon atoms, acyl of 2-7 carbon atoms, or aroyl;

R₁, R₂, R₃, and R₄ are each, independently, hydrogen, alkyl of 1-6 carbon atoms,

alkoxy of 1-6 carbon atoms, halogen, trifluoroalkyl, or trifluoroalkoxy of 1-6

10 carbon atoms;

X is CR₅R₆ or a carbonyl group;R₅ and R₆ are each, independently, hydrogen or alkyl of 1-6 carbon atoms;

with the proviso that at least two of R₁, R₂, R₃, or R₄ are not hydrogen, and that
when X is a carbonyl group, R₂ and R₃ are not both halogen;

15 or a pharmaceutically acceptable salt thereof.

2. The compound of claim 1, wherein the non-hydrogen substituents of R₁, R₂,
R₃, or R₄ are halogen or trifluoromethyl.

20 3. The compound of claim 1 wherein

R is hydrogen;

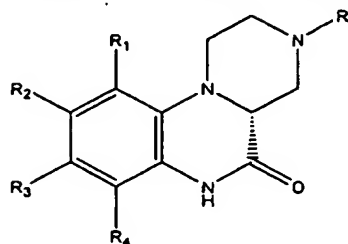
R' is hydrogen;

R₁, R₂, R₃, and R₄ are each, independently, hydrogen, halogen, or
trifluoroalkyl;25 X is CR₅R₆ or a carbonyl group;R₅ and R₆ are each hydrogen;

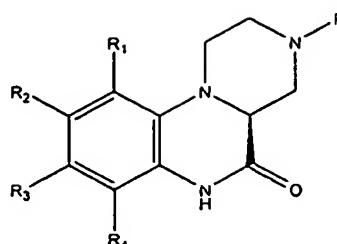
or a pharmaceutically acceptable salt thereof.

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4. The compound of claim 1, having the structure



IA



IB

or a pharmaceutically acceptable salt thereof.

5

5. The compound of claim 4 wherein
 R_1 , R_2 , R_3 , and R_4 are each, independently, hydrogen, halogen, or trifluoroalkyl.

- 10 6. The compound of claim 5 wherein R is hydrogen.

7. The compound of claim 1 wherein

R is hydrogen;

R' is hydrogen;

- 15 R_1 , R_2 , R_3 , and R_4 are each, independently, hydrogen, halogen, or trifluoroalkyl, with the proviso that one of R_1 and R_2 , or R_2 and R_3 , or R_2 and R_4 are independently halogen, or trifluoroalkyl;

X is CR_5R_6 or a carbonyl group;

R_5 and R_6 are each hydrogen;

- 20 or a pharmaceutically acceptable salt thereof.

8. The compound of claim 7 wherein R_2 and R_3 are independently halogen, or trifluoroalkyl, and R_1 and R_4 are hydrogen.

- 25 9. The compound of claim 7 wherein R_2 and R_4 are independently halogen, or trifluoroalkyl, and R_1 and R_3 are hydrogen.

10. The compound of claim 7 wherein R_1 and R_2 are independently halogen, or trifluoroalkyl, and R_3 and R_4 are hydrogen.

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11. The compound of claim 1, which is
- a) 8,9-dichloro-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline or a pharmaceutically acceptable salt thereof;
 - 5 b) (R)-8,9-dichloro-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline or a pharmaceutically acceptable salt thereof;
 - c) (S)-8,9-dichloro-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline or a pharmaceutically acceptable salt thereof;
 - d) 9-chloro-8-trifluoromethyl-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one or a pharmaceutically acceptable salt thereof;
 - 10 e) (S)-9-chloro-8-trifluoromethyl-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one or a pharmaceutically acceptable salt thereof;
 - f) (R)-9-chloro-8-trifluoromethyl-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one or a pharmaceutically acceptable salt thereof;
 - 15 g) 9,10-dichloro-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]-quinoxalin-5(6H)-one or a pharmaceutically acceptable salt thereof; or
 - h) 7,9-dichloro-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one or a pharmaceutically acceptable salt thereof.
12. The compound of claim 1 which is:
- 20 a) 8,9-dichloro-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline dihydrochloride salt;
 - b) (R)-8,9-dichloro-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline dihydrochloride salt;
 - c) (S)-8,9-dichloro-2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxaline dihydrochloride salt;
 - 25 d) 9-chloro-8-trifluoromethyl-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one hydrochloride salt;
 - e) (S)-9-chloro-8-trifluoromethyl-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one hydrochloride salt;
 - 30 f) (R)-9-chloro-8-trifluoromethyl-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one hydrochloride salt;
 - g) 9,10-dichloro-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]-quinoxalin-5(6H)-one hydrochloride salt; or

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- h) 7,9-dichloro-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one hydrochloride salt.

13. A pharmaceutical composition comprising at least one compound of claim 1,
5 and at least one pharmaceutical carrier.

14. A compound which is (R)-8,9-dichloro-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one or a pharmaceutically acceptable salt thereof.

10 15. The compound of claim 14 which is (R)-8,9-dichloro-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one hydrochloride salt.

16. A pharmaceutical composition comprising at least one compound of claim 14,
15 and at least one pharmaceutical carrier.

17. A compound which is 8,9-difluoro-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one or a pharmaceutically acceptable salt thereof.

18. The compound of claim 17 which is 8,9-difluoro-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one hydrochloride salt.
20

19. A pharmaceutical composition comprising at least one compound of claim 17,
and at least one pharmaceutical carrier.

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Bib Data Sheet

CONFIRMATION NO. 2166

SERIAL NUMBER 10/724,844	FILING DATE 12/01/2003 RULE	CLASS 514	GROUP ART UNIT 1614	ATTORNEY DOCKET NO. AHP98353C1
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APPLICANTS

Annmarie Louise Sabb, Pennington, NJ;
 Gregory Scott Welmaker, Collegeville, PA;
 James Albert Nelson, Washington Crossing, PA;

**** CONTINUING DATA *******
 This application is a CON of 10/244,773 09/16/2002 PAT 6,706,714
 which is a DIV of 09/891,593 06/26/2001 PAT 6,476,032
 which is a CIP of 09/455,220 12/06/1999 PAT 6,372,745
 which claims benefit of 60/172,234 12/17/1998 ABN

**** FOREIGN APPLICATIONS *******

IF REQUIRED, FOREIGN FILING LICENSE GRANTED
**** 03/11/2004**

Foreign Priority claimed 35 USC 119 (a-d) conditions met Verified and Acknowledged	<input type="checkbox"/> yes <input type="checkbox"/> no <input type="checkbox"/> yes <input type="checkbox"/> no <input type="checkbox"/> Met after Allowance Examiner's Signature _____ Initials _____	STATE OR COUNTRY NJ	SHEETS DRAWING 0	TOTAL CLAIMS 19	INDEPENDENT CLAIMS 3
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ADDRESS
 25291
 WYETH
 PATENT LAW GROUP
 5 GIRALDA FARMS
 MADISON , NJ
 07940

TITLE
 2,3,4,4a-tetrahydro-1H-pyrazino(1,2-a) quinoxalin-5(6H)one derivatives

<input type="checkbox"/> All Fees <input type="checkbox"/> 1.16 Fees (Filing)
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<p>FILING FEE</p> <p>RECEIVED</p> <p>770</p>	<p>FEES: Authority has been given in Paper</p> <p>No. _____ to charge/credit DEPOSIT ACCOUNT</p> <p>No. _____ for following:</p>	<p><input type="checkbox"/> 1.17 Fees (Processing Ext. of time)</p> <p><input type="checkbox"/> 1.18 Fees (Issue)</p> <p><input type="checkbox"/> Other _____</p> <p><input type="checkbox"/> Credit</p>
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ul

(FILE 'HOME' ENTERED AT 15:47:11 ON 28 MAR 2006)

FILE 'REGISTRY' ENTERED AT 15:47:15 ON 28 MAR 2006

L1 STR
L2 10 SEA SSS SAM L1
L3 STR
L4 3 SEA SSS SAM L1 AND L3
D SCA
L5 37 SEA SSS FUL L1 AND L3
L6 STR
L7 14 SEA SUB=L5 SSS FUL L6
D SCA
L8 23 SEA ABB=ON PLU=ON L5 NOT L7

FILE 'HCAPLUS' ENTERED AT 15:55:18 ON 28 MAR 2006

L9 5 SEA ABB=ON PLU=ON L8

FILE 'BEILSTEIN' ENTERED AT 15:55:42 ON 28 MAR 2006

L10 0 SEA SSS SAM L1 AND L3
L11 24 SEA SSS FUL L1 AND L3
L12 24 SEA ABB=ON PLU=ON L11/COM
L13 0 SEA SUB=L12 SSS SAM L6
L14 9 SEA SUB=L12 SSS FUL L6
L15 15 SEA ABB=ON PLU=ON L12 NOT L14

FILE 'MARPAT' ENTERED AT 15:57:15 ON 28 MAR 2006

FILE 'MARPAT' ENTERED AT 16:05:14 ON 28 MAR 2006

L16 1 SEA SSS SAM L1
L17 12 SEA SSS FUL L1
L18 1 SEA SUB=L17 SSS SAM L3
L19 9 SEA SUB=L17 SSS FUL L3
L20 6 SEA SUB=L19 SSS FUL L6
L21 3 SEA ABB=ON PLU=ON L19 NOT L20
L22 3 SEA ABB=ON PLU=ON L21 NOT L9

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 27 MAR 2006 HIGHEST RN 878190-58-0

DICTIONARY FILE UPDATES: 27 MAR 2006 HIGHEST RN 878190-58-0

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *

* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

FILE HCAPLUS

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FILE COVERS 1907 - 28 Mar 2006 VOL 144 ISS 14
FILE LAST UPDATED: 27 Mar 2006 (20060327/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BEILSTEIN

FILE LAST UPDATED ON MARCH 15, 2006

FILE COVERS 1771 TO 2006.

FILE CONTAINS 9,516,393 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

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* FOR PRICE INFORMATION SEE HELP COST *

NEW

* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.

* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

FILE MARPAT

FILE CONTENT: 1961-PRESENT VOL 144 ISS 10 (20060324/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	2006035965	16	FEB	2006
DE	102004031947	19	JAN	2006
EP	1614691	11	JAN	2006
JP	2006016369	19	JAN	2006
WO	2006012333	02	FEB	2006
GB	2416167	18	JAN	2006
FR	2873371	27	JAN	2006
RU	2267521	10	JAN	2006
CA	2472818	30	DEC	2005

Expanded G-group definition display now available.

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=> fil hcap

FILE 'HCAPLUS' ENTERED AT 16:06:58 ON 28 MAR 2006

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FILE COVERS 1907 - 28 Mar 2006 VOL 144 ISS 14

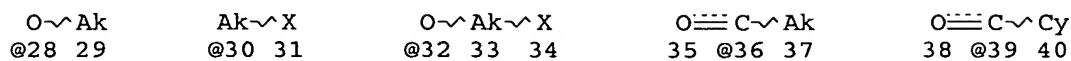
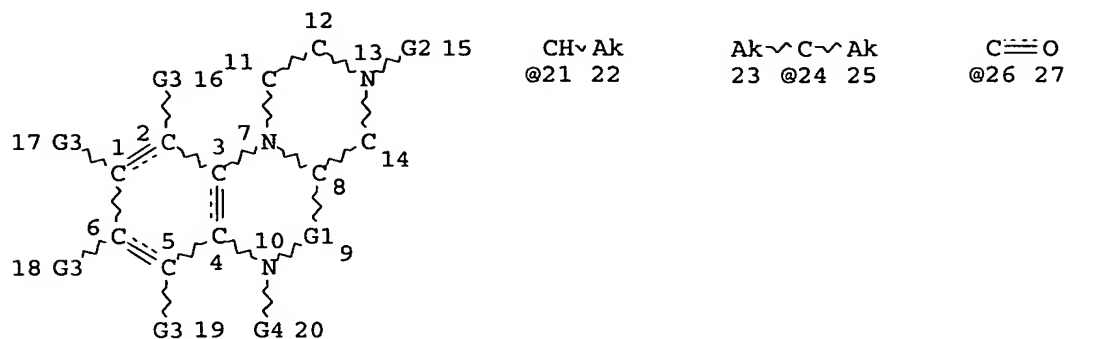
FILE LAST UPDATED: 27 Mar 2006 (20060327/ED)

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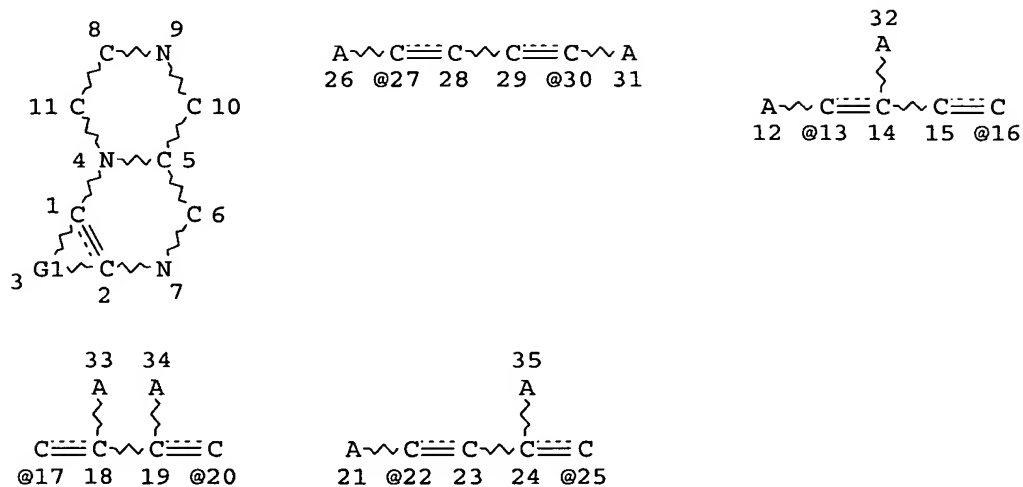
L1 STR



VAR G1=CH2/21/24/26
 VAR G2=H/AK
 VAR G3=H/AK/28/X/30/32
 VAR G4=H/AK/36/39
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 DEFAULT MLEVEL IS ATOM
 GGCAT IS UNS AT 40
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 40

STEREO ATTRIBUTES: NONE
 L3 STR



VAR G1=27-1 30-2/17-1 20-2/13-1 16-2/16-1 13-2/22-1 25-2/25-1 22-2
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

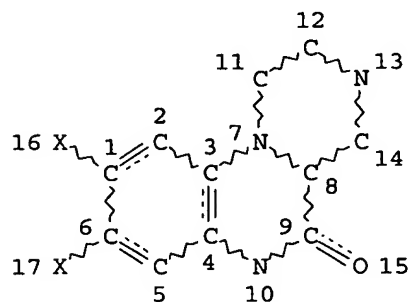
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 35

STEREO ATTRIBUTES: NONE

L5 37 SEA FILE=REGISTRY SSS FUL L1 AND L3

L6 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L7 14 SEA FILE=REGISTRY SUB=L5 SSS FUL L6

L8 23 SEA FILE=REGISTRY ABB=ON PLU=ON L5 NOT L7

L9 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L8

=> d l9 ibib abs hitstr 1-5

L9 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:906181 HCAPLUS

DOCUMENT NUMBER: 138:4617

TITLE: Substituted 1-benzyl-4-arylpiperazine analogs as melanin concentrating hormone receptor ligands

INVENTOR(S): Hutchison, Alan; Peterson, John; Doller, Dario; Gustavson, Linda E.; Caldwell, Timothy; Yoon, Taeyoung; Pringle, Wallace; Bakthavatchalam, Rajagopal; Shen, Yiping; Steenstra, Cheryl; Yin, Helen; De, Simone Robert; He, Xiao-shu

PATENT ASSIGNEE(S): Neurogen Corporation, USA; et al.

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094799	A2	20021128	WO 2002-US15979	20020521
WO 2002094799	A3	20031106		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

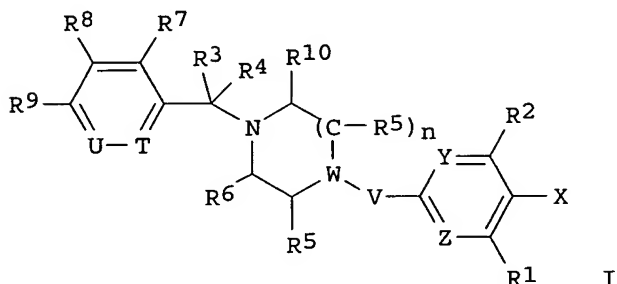
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CA 2448080	AA	20021128	CA 2002-2448080	20020521
EP 1389189	A2	20040218	EP 2002-746423	20020521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002009932	A	20041013	BR 2002-9932	20020521
US 2005065162	A1	20050324	US 2002-152189	20020521
US 6953801	B2	20051011		
JP 2005515961	T2	20050602	JP 2002-591472	20020521
US 2005182068	A1	20050818	US 2005-103246	20050411

PRIORITY APPLN. INFO.:

US 2001-292719P	P	20010522
US 2002-152189	A3	20020521
WO 2002-US15979	W	20020521

OTHER SOURCE(S): MARPAT 138:4617
GI



AB Title compds. I [T, U = N, O, (un)substituted CH; V = bond, CO; W = N, CH, C(OH), C(CN); X = halogen, OH, NO2, CN, O, (un)substituted NH2, OH, SO2H, SO2NH2, CONH2, NHCHO; Y, Z = CH, N; YR5 ZR5 = atoms required to complete a carbocyclic or heterocyclic ring; n = 1, 2; R1, R2, R7, R8, R9 = H, halogen, OH, NO2, CN, O, (un)substituted NH2, OH, SO2H, SO2NH2, CONH2, NHCHO; R3 = H, alkyl, alkenyl, haloalkyl; R3T = atoms required to complete a carbocyclic or heterocyclic ring; R4 = H, alkyl, haloalkyl; R5, R6 = H, halogen, OH, NO2, CN, NH2, O, alkyl, alkenyl, alkoxy, haloalkyl, haloalkoxy, aminoalkyl; R10 = H, halogen, OH, NO2, CN, alkyl, alkenyl, alkynyl, alkoxy, haloalkyl, haloalkoxy, (un)substituted NH2; R7R10 = atoms required to form a ring] were prepared for use as melanin concentrating hormone receptor ligands. Such ligands may be used to modulate MCH binding to MCH receptors in vivo or in vitro, and are particularly useful in the treatment of a variety of metabolic, feeding and sexual disorders in humans, domesticated companion animals and livestock animals. Thus, 1-(5-bromo-6-methoxypyridin-2-yl)piperazine was reductively alkylated with 3,4-(MeO)2C6H3CHO to give the 4-(3,4-dimethoxybenzyl) derivative

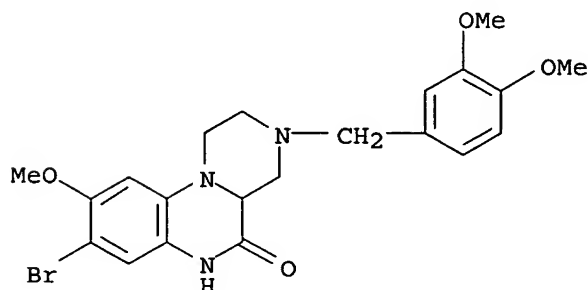
IT 477202-60-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted 1-benzyl-4-arylpiperazine analogs as melanin concentrating hormone receptor ligands)

RN 477202-60-1 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 8-bromo-3-[(3,4-dimethoxyphenyl)methyl]-2,3,4,4a-tetrahydro-9-methoxy- (9CI) (CA INDEX NAME)



L9 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:290792 HCAPLUS

DOCUMENT NUMBER: 136:294853

TITLE: Preparation of 2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)ones as 5HT2C agonists.

INVENTOR(S): Sabb, Annmarie L.; Welmaker, Gregory S.; Nelson, James A.

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: U.S., 13 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

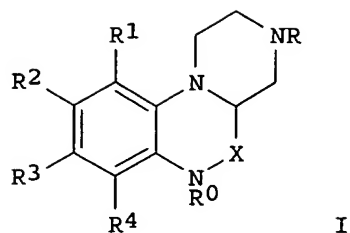
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6372745	B1	20020416	US 1999-455220	19991206
US 2001051622	A1	20011213	US 2001-891593	20010626
US 6476032	B2	20021105		
US 2003060468	A1	20030327	US 2002-244773	20020916
US 6706714	B2	20040316		
US 2004116437	A1	20040617	US 2003-724844	20031201
PRIORITY APPLN. INFO.:			US 1998-172234P	P 19981217
			US 1999-455220	A2 19991206
			US 2001-891593	A3 20010626
			US 2002-244773	A1 20020916

OTHER SOURCE(S): MARPAT 136:294853

GI



AB Title compds. (I; R = H, alkyl; R0 = H, alkyl, acyl, aroyl; R1-R4 = H, alkyl, alkoxy, halo, CF3, CN, alkylsulfonamide, alkylamide, amino, alkylamino, dialkylamino, OCF3, acyl, aroyl; X = CR5R6, CO; R5, R6 = H, alkyl; with the proviso that ≥ 1 of R1-R4 are not H), were prepared Thus, 4-carbobenzyloxy-1-(4,5-dichloro-2-nitrophenyl)piperazine-2-carboxylic acid (preparation given) in HOAc at 60° was treated portionwise with Fe powder to give 3-carbobenzyloxy-8,9-dichloro-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one. The latter was refluxed 3 h with KOH in MeOH to give a residue which was treated with HCl in EtOH to give 8,9-dichloro-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one. This inhibited feeding in rats with ED50 = 1.91 mg/kg.

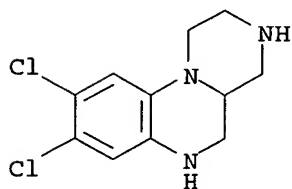
IT 276694-97-4P 276694-98-5P 276694-99-6P
276695-00-2P 276695-01-3P 276695-02-4P
276695-03-5P 276695-04-6P 276695-24-0P
276695-25-1P 276695-27-3P 276695-28-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)ones as 5HT2C agonists)

RN 276694-97-4 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-, dihydrochloride (9CI) (CA INDEX NAME)

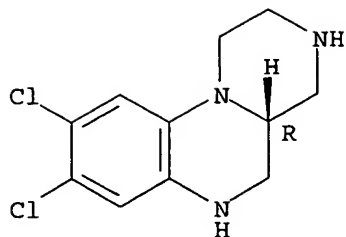


● 2 HCl

RN 276694-98-5 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-, dihydrochloride, (4aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

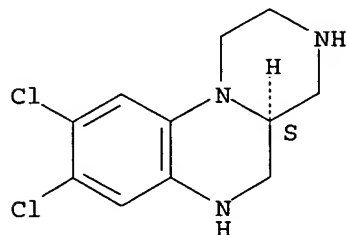


● 2 HCl

RN 276694-99-6 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-, dihydrochloride, (4aS)- (9CI) (CA INDEX NAME)

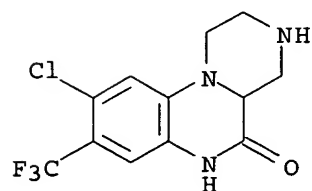
Absolute stereochemistry. Rotation (+).



● 2 HCl

RN 276695-00-2 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

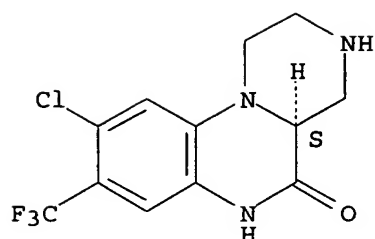


● HCl

RN 276695-01-3 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, monohydrochloride, (4aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

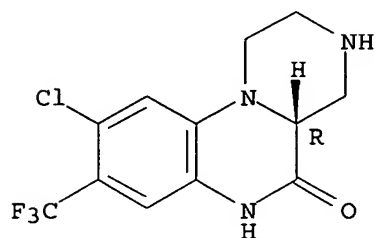


● HCl

RN 276695-02-4 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, monohydrochloride, (4aR)-(9CI) (CA INDEX NAME)

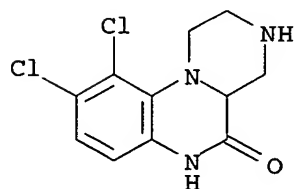
Absolute stereochemistry. Rotation (+).



● HCl

RN 276695-03-5 HCAPLUS

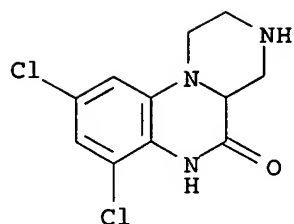
CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9,10-dichloro-2,3,4,4a-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 276695-04-6 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 7,9-dichloro-2,3,4,4a-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

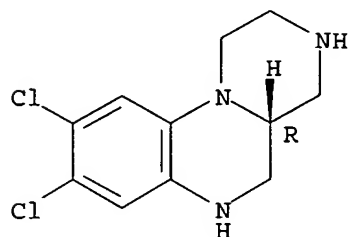


● HCl

RN 276695-24-0 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-,
(4aR)- (9CI) (CA INDEX NAME)

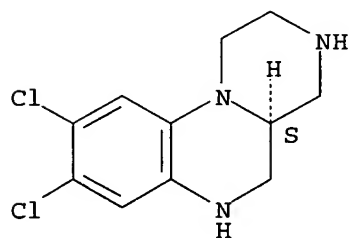
Absolute stereochemistry.



RN 276695-25-1 HCAPLUS

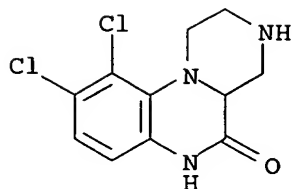
CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-,
(4aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



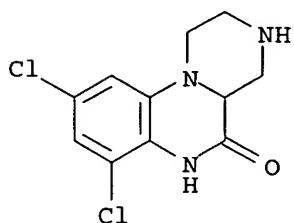
RN 276695-27-3 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9,10-dichloro-2,3,4,4a-tetrahydro-,
(9CI) (CA INDEX NAME)



RN 276695-28-4 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 7,9-dichloro-2,3,4,4a-tetrahydro-
(9CI) (CA INDEX NAME)



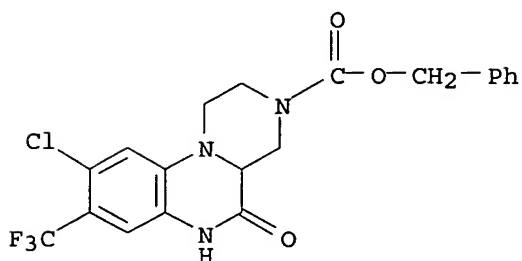
IT 276695-14-8P 276695-16-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of 2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)ones
as 5HT2C agonists)

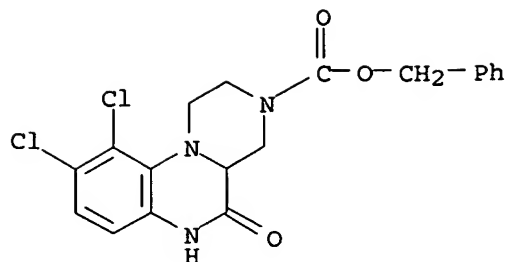
RN 276695-14-8 HCAPLUS

CN 3H-Pyrazino[1,2-a]quinoxaline-3-carboxylic acid, 9-chloro-1,2,4,4a,5,6-
hexahydro-5-oxo-8-(trifluoromethyl)-, phenylmethyl ester (9CI) (CA INDEX
NAME)



RN 276695-16-0 HCAPLUS

CN 3H-Pyrazino[1,2-a]quinoxaline-3-carboxylic acid, 9,10-dichloro-
1,2,4,4a,5,6-hexahydro-5-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:906208 HCAPLUS

DOCUMENT NUMBER: 136:37626

TITLE: Preparation of 2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)ones as 5HT2c agonists

INVENTOR(S): Rosenzweig-Lipson, Sharon J.; Sabb, Annmarie L.; Welmaker, Gregory S.; Nelson, James A.

PATENT ASSIGNEE(S): American Home Products Corp., USA; Wyeth

SOURCE: U.S. Pat. Appl. Publ., 16 pp., Cont.-in-part of U. S. Ser. No. 455,220.

CODEN: USXXCO

DOCUMENT TYPE: Patent

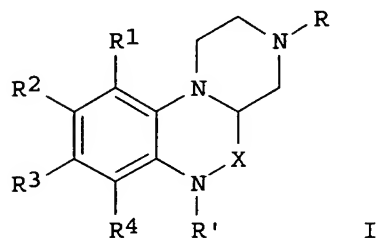
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001051622	A1	20011213	US 2001-891593	20010626
US 6476032	B2	20021105		
US 6372745	B1	20020416	US 1999-455220	19991206
US 2003060468	A1	20030327	US 2002-244773	20020916
US 6706714	B2	20040316		
US 2004116437	A1	20040617	US 2003-724844	20031201
PRIORITY APPLN. INFO.:			US 1998-172234P	P 19981217
			US 1999-455220	A2 19991206
			US 2001-891593	A3 20010626
			US 2002-244773	A1 20020916

OTHER SOURCE(S): MARPAT 136:37626
GI



I

AB Title compds. (I; R = H, alkyl; R' = H, alkyl, acyl, aroyl; R1-R4 = H, alkyl, alkoxy, halo, CF₃, CN, alkylsulfonamide, alkylamide, amino, alkylamino, dialkylamino, trifluoroalkoxy, acyl, aroyl; X = CR₅R₆, CO; R₅, R₆ = H, alkyl; with the proviso that ≥ 1 of R₁-R₄ \neq H) and their pharmaceutically acceptable salts were prepared as 5HT_{2C} receptor agonists useful for the treatment of CNS disorders such as obsessive-compulsive disorder, depression, anxiety, schizophrenia, migraine, sleep disorders, eating disorders, obesity, type II diabetes, and epilepsy. Thus, 4-benzyloxycarbonyl-1-(4,5-dichloro-2-nitrophenyl)piperazine-2-carboxylic acid (preparation given) was heated with HOAc and Fe at 60° to give 3-benzyloxycarbonyl-8,9-dichloro-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one. This was refluxed with KOH in H₂O/MeOH to give 8,9-dichloro-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one. The latter at 1.91 mg/kg i.p. in rats reduced food intake by 50%.

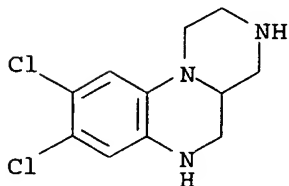
IT 276694-97-4P 276694-98-5P 276694-99-6P
 276695-00-2P 276695-01-3P 276695-02-4P
 276695-03-5P 276695-04-6P 276695-23-9P
 276695-24-0P 276695-25-1P 276695-26-2P
 276695-27-3P 276695-28-4P 276868-81-6P
 276868-82-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydropyrazinoquinoxalinones as 5HT_{2c} agonists)

RN 276694-97-4 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-, dihydrochloride (9CI) (CA INDEX NAME)

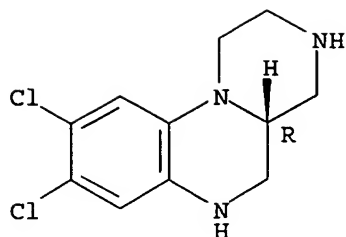


●2 HCl

RN 276694-98-5 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-, dihydrochloride, (4aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

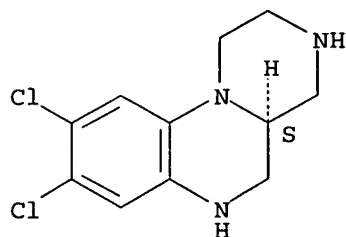


● 2 HCl

RN 276694-99-6 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-, dihydrochloride, (4aS)-(9CI) (CA INDEX NAME)

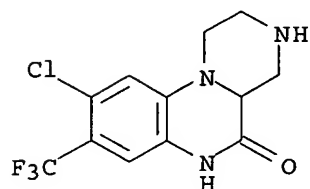
Absolute stereochemistry. Rotation (+).



● 2 HCl

RN 276695-00-2 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

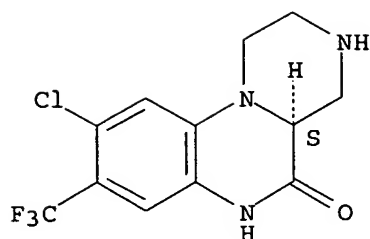


● HCl

RN 276695-01-3 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, monohydrochloride, (4aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

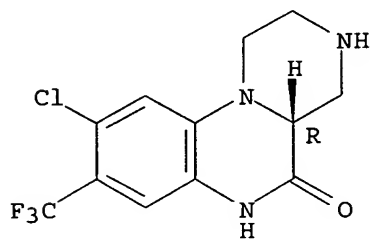


● HCl

RN 276695-02-4 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, monohydrochloride, (4aR)- (9CI) (CA INDEX NAME)

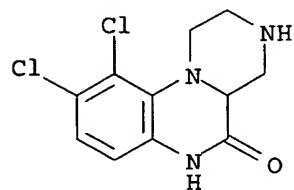
Absolute stereochemistry. Rotation (+).



● HCl

RN 276695-03-5 HCAPLUS

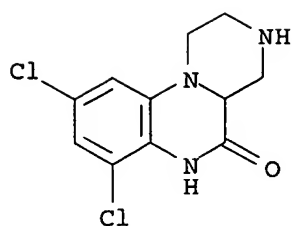
CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9,10-dichloro-2,3,4,4a-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 276695-04-6 HCAPLUS

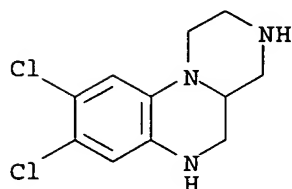
CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 7,9-dichloro-2,3,4,4a-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 276695-23-9 HCAPLUS

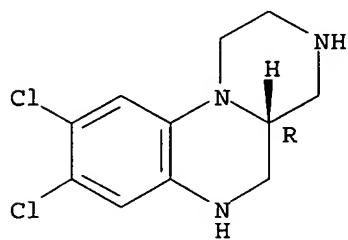
CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro- (9CI)
(CA INDEX NAME)



RN 276695-24-0 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-,
(4aR)- (9CI) (CA INDEX NAME)

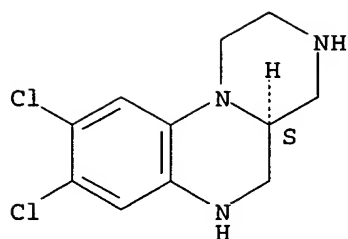
Absolute stereochemistry.



RN 276695-25-1 HCAPLUS

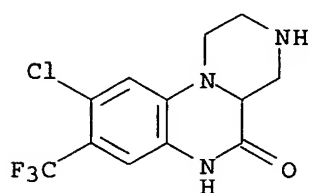
CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-,
(4aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



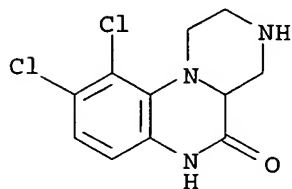
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CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)



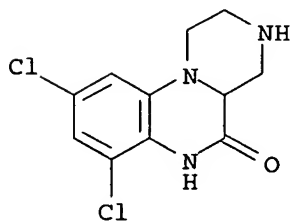
RN 276695-27-3 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9,10-dichloro-2,3,4,4a-tetrahydro- (9CI) (CA INDEX NAME)



RN 276695-28-4 HCAPLUS

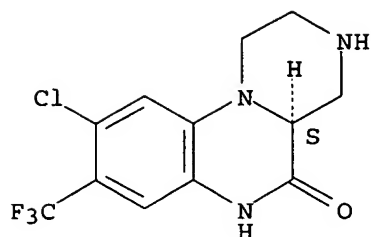
CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 7,9-dichloro-2,3,4,4a-tetrahydro- (9CI) (CA INDEX NAME)



RN 276868-81-6 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, (4aS)- (9CI) (CA INDEX NAME)

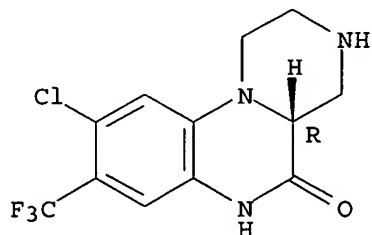
Absolute stereochemistry. Rotation (-).



RN 276868-82-7 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, (4aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

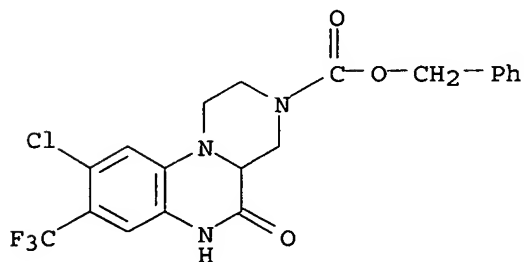


IT 276695-14-8P 276695-16-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydropyrazinoquinoxalinones as 5HT2c agonists)

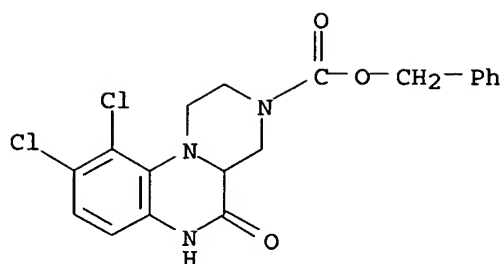
RN 276695-14-8 HCAPLUS

CN 3H-Pyrazino[1,2-a]quinoxaline-3-carboxylic acid, 9-chloro-1,2,4,4a,5,6-hexahydro-5-oxo-8-(trifluoromethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

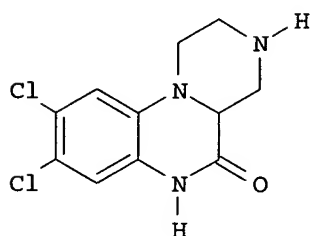


RN 276695-16-0 HCAPLUS

CN 3H-Pyrazino[1,2-a]quinoxaline-3-carboxylic acid, 9,10-dichloro-1,2,4,4a,5,6-hexahydro-5-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:595516 HCAPLUS
 DOCUMENT NUMBER: 133:335206
 TITLE: Synthesis and 5-Hydroxytryptamine (5-HT) activity of
 2,3,4,4a-Tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5-
 (6H)ones and 2,3,4,4a,5,6-Hexahydro-1H-pyrazino[1,2-
 a]quinoxalines
 AUTHOR(S): Welmaker, G. S.; Nelson, J. A.; Sabalski, J. E.; Sabb,
 A. L.; Potoski, J. R.; Graziano, D.; Kagan, M.;
 Coupet, J.; Dunlop, J.; Mazandarani, H.;
 CORPORA TE SOURCE: Rosenzweig-Lipson, S.; Sukoff, S.; Zhang, Y.
 Medicinal Chemistry, Chemical Sciences, CN8000,
 Wyeth-Ayerst Research, Princeton, NJ, 08543, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2000),
 10(17), 1991-1994
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 133:335206
 GI



I

AB A series of 2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5- (6H)ones,
 e.g. I, and 2,3,4,4a,5,6-hexahydro-1H-pyrazino[1,2-a]quinoxalines was
 shown to exhibit 5-HT_{2C} agonist binding and functional activity. Compound
 (R)-I inhibited food intake over 2 h in fasted, male Sprague-Dawley rats
 with ED₅₀ values of 2 mg/kg (i.p.) and 10 mg/kg (po).

IT 276868-82-7P

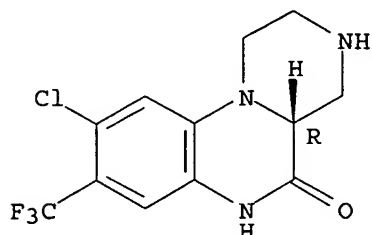
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL
 (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and hydroxytryptamine (5-HT) activity of tetrahydro
pyrazinoquinoxalinones and hexahydro pyrazinoquinoxalines)

RN 276868-82-7 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, (4aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 276695-23-9P 276695-24-0P 276695-25-1P

276695-26-2P 276695-27-3P 276695-28-4P

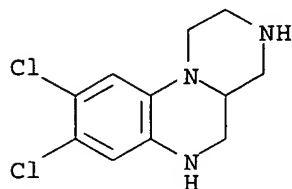
276868-81-6P 304023-11-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and hydroxytryptamine (5-HT) activity of tetrahydro
pyrazinoquinoxalinones and hexahydro pyrazinoquinoxalines)

RN 276695-23-9 HCAPLUS

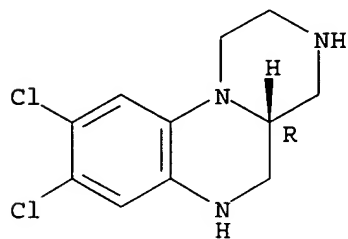
CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro- (9CI) (CA INDEX NAME)



RN 276695-24-0 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-, (4aR)- (9CI) (CA INDEX NAME)

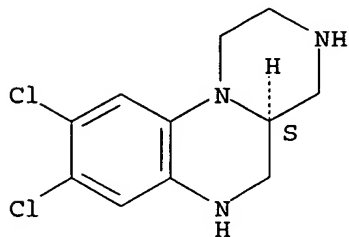
Absolute stereochemistry.



RN 276695-25-1 HCAPLUS

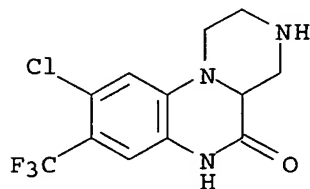
CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-,
(4aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



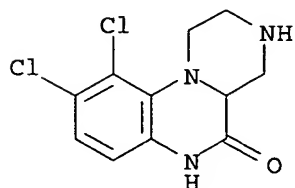
RN 276695-26-2 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)



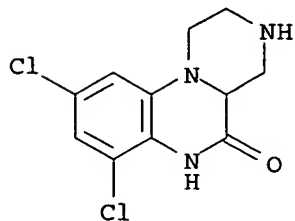
RN 276695-27-3 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9,10-dichloro-2,3,4,4a-tetrahydro- (9CI) (CA INDEX NAME)



RN 276695-28-4 HCAPLUS

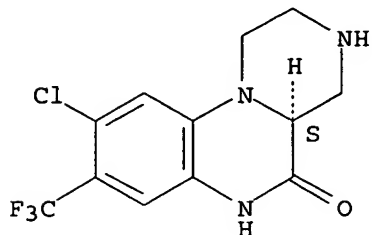
CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 7,9-dichloro-2,3,4,4a-tetrahydro- (9CI) (CA INDEX NAME)



RN 276868-81-6 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, (4aS)- (9CI) (CA INDEX NAME)

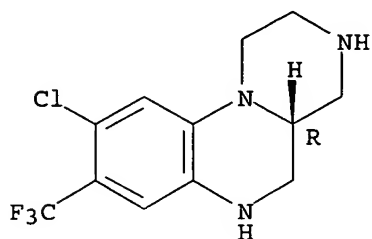
Absolute stereochemistry. Rotation (-).



RN 304023-11-8 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxaline, 9-chloro-2,3,4,4a,5,6-hexahydro-8-(trifluoromethyl)-, (4aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

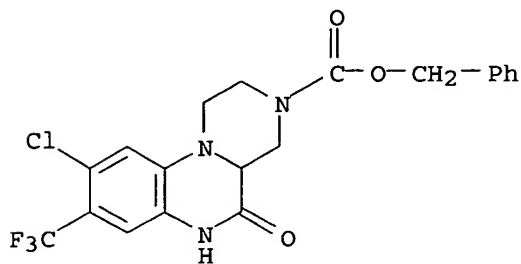
IT 276695-14-8P 276695-16-0P 304023-30-1P
304023-47-0P 304023-48-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and hydroxytryptamine (5-HT) activity of tetrahydro pyrazinoquinoxalinones and hexahydro pyrazinoquinoxalines)

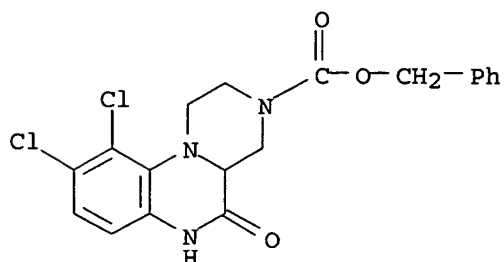
RN 276695-14-8 HCAPLUS

CN 3H-Pyrazino[1,2-a]quinoxaline-3-carboxylic acid, 9-chloro-1,2,4,4a,5,6-hexahydro-5-oxo-8-(trifluoromethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



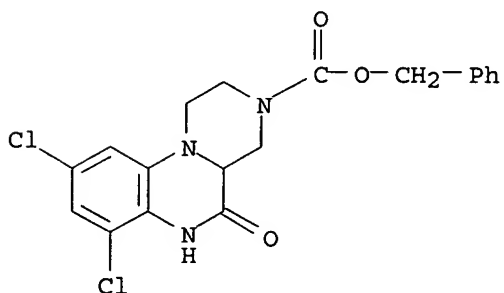
RN 276695-16-0 HCAPLUS

CN 3H-Pyrazino[1,2-a]quinoxaline-3-carboxylic acid, 9,10-dichloro-1,2,4,4a,5,6-hexahydro-5-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 304023-30-1 HCAPLUS

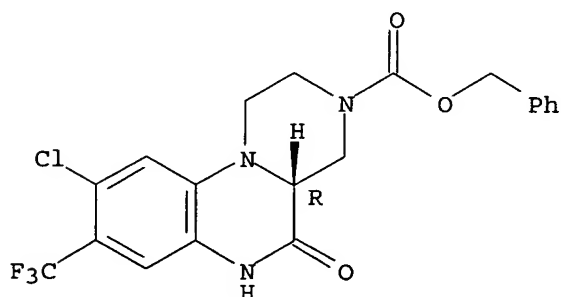
CN 3H-Pyrazino[1,2-a]quinoxaline-3-carboxylic acid, 7,9-dichloro-1,2,4,4a,5,6-hexahydro-5-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 304023-47-0 HCAPLUS

CN 3H-Pyrazino[1,2-a]quinoxaline-3-carboxylic acid, 9-chloro-1,2,4,4a,5,6-hexahydro-5-oxo-8-(trifluoromethyl)-, phenylmethyl ester, (4aR)- (9CI) (CA INDEX NAME)

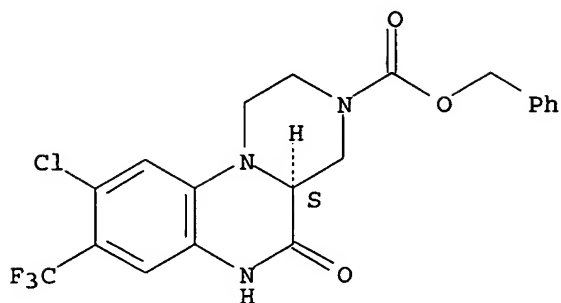
Absolute stereochemistry.



RN 304023-48-1 HCAPLUS

CN 3H-Pyrazino[1,2-a]quinoxaline-3-carboxylic acid, 9-chloro-1,2,4,4a,5,6-hexahydro-5-oxo-8-(trifluoromethyl)-, phenylmethyl ester, (4aS)- (9CI) (CA INDEX NAME)

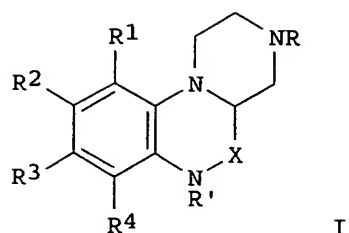
Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:421145 HCAPLUS
 DOCUMENT NUMBER: 133:58817
 TITLE: Preparation of 2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)ones as 5HT2c agonists.
 INVENTOR(S): Sabb, Annmarie Louise; Welmaker, Gregory Scott; Nelson, James Albert
 PATENT ASSIGNEE(S): American Home Products Corp., USA
 SOURCE: PCT Int. Appl., 53 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035922	A1	20000622	WO 1999-US29894	19991216
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2351385	AA	20000622	CA 1999-2351385	19991216
BR 9916326	A	20011002	BR 1999-16326	19991216
EP 1140940	A1	20011010	EP 1999-965285	19991216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NZ 512765	A	20031031	NZ 1999-512765	19991216
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NO 2001003001	A	20010615	NO 2001-3001	20010615
PRIORITY APPLN. INFO.:			US 1998-213471	A 19981217
			WO 1999-US29894	W 19991216
OTHER SOURCE(S):			MARPAT 133:58817	
GI				



AB Title compds. (I; R = H, alkyl; R' = H, alkyl, acyl, aroyl; R1-R4 = H, alkyl, alkoxy, halo, CF₃, cyano, alkylsulfonamide, alkylamide, amino, alkylamino, dialkylamino, trifluoroalkoxy, acyl, aroyl; X = CR₅R₆, CO; R₅, R₆ = H, alkyl; with the proviso that ≥1 of R1-R4 ≠ H) were prepared as 5HT_{2C} receptor agonists useful for the treatment of CNS disorders such as obsessive-compulsive disorder, depression, anxiety, schizophrenia, migraine, sleep disorders, eating disorders, obesity, type II diabetes, and epilepsy. Thus, 4-benzyloxycarbonyl-1-(4,5-dichloro-2-nitrophenyl)piperazine-2-carboxylic acid (preparation given) was heated with HOAc and Fe at 60° to give 3-benzyloxycarbonyl-8,9-dichloro-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one. This was refluxed with KOH in H₂O/MeOH to give 8,9-dichloro-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-one. The latter at 1.91 mg/kg i.p. in rats reduced food intake by 50%.

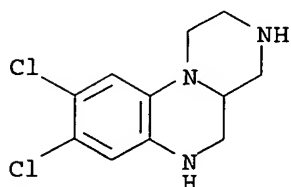
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 276695-24-0P 276695-25-1P 276695-26-2P
 276695-27-3P 276695-28-4P 276868-81-6P
 276868-82-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydropyrazinoquinoxalinones as 5HT_{2c} agonists)

RN 276694-97-4 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-, dihydrochloride (9CI) (CA INDEX NAME)

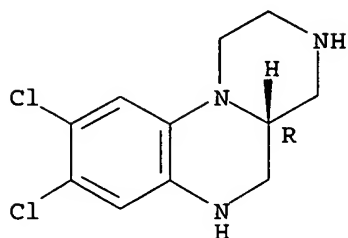


● 2 HCl

RN 276694-98-5 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-, dihydrochloride, (4aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

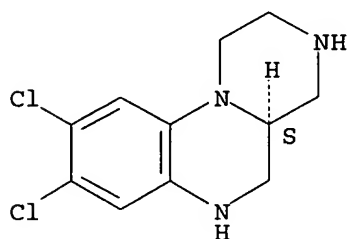


● 2 HCl

RN 276694-99-6 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-, dihydrochloride, (4aS)-(9CI) (CA INDEX NAME)

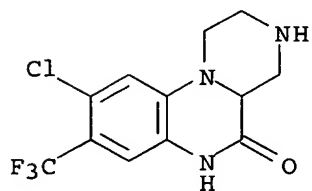
Absolute stereochemistry. Rotation (+).



● 2 HCl

RN 276695-00-2 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

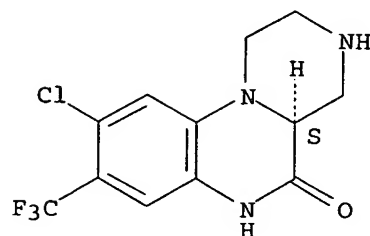


● HCl

RN 276695-01-3 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, monohydrochloride, (4aS)-(9CI) (CA INDEX NAME)

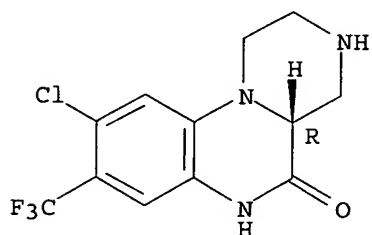
Absolute stereochemistry. Rotation (-).



● HCl

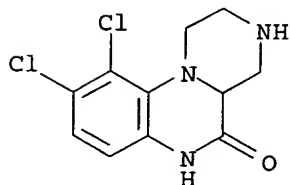
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CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, monohydrochloride, (4aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

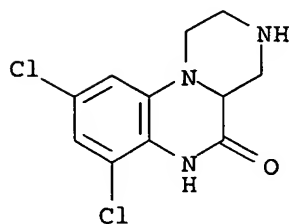
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CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9,10-dichloro-2,3,4,4a-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 276695-04-6 HCAPLUS
CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 7,9-dichloro-2,3,4,4a-tetrahydro-,

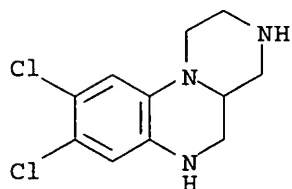
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 276695-23-9 HCAPLUS

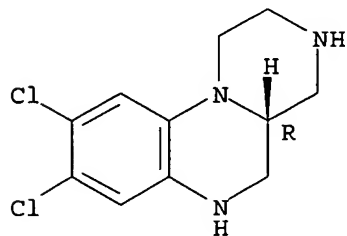
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(CA INDEX NAME)



RN 276695-24-0 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-,
(4aR)- (9CI) (CA INDEX NAME)

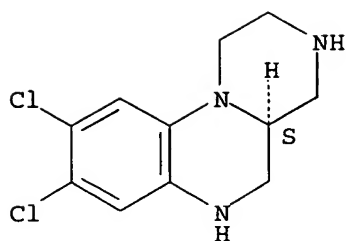
Absolute stereochemistry.



RN 276695-25-1 HCAPLUS

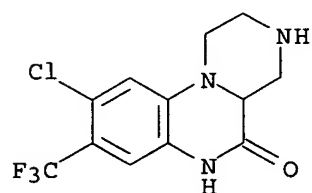
CN 1H-Pyrazino[1,2-a]quinoxaline, 8,9-dichloro-2,3,4,4a,5,6-hexahydro-,
(4aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



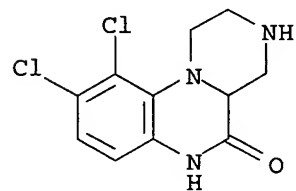
RN 276695-26-2 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)



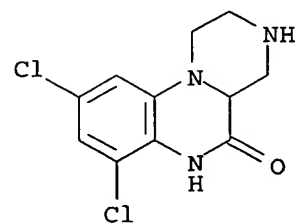
RN 276695-27-3 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9,10-dichloro-2,3,4,4a-tetrahydro- (9CI) (CA INDEX NAME)



RN 276695-28-4 HCAPLUS

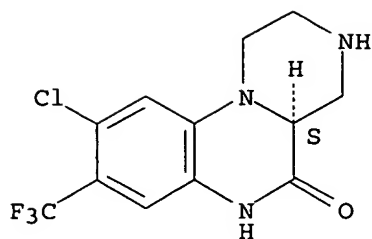
CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 7,9-dichloro-2,3,4,4a-tetrahydro- (9CI) (CA INDEX NAME)



RN 276868-81-6 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, (4aS)- (9CI) (CA INDEX NAME)

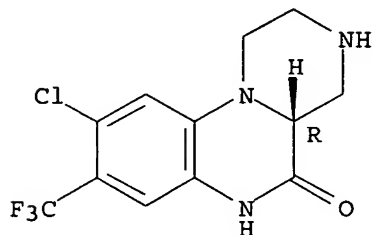
Absolute stereochemistry. Rotation (-).



RN 276868-82-7 HCAPLUS

CN 1H-Pyrazino[1,2-a]quinoxalin-5(6H)-one, 9-chloro-2,3,4,4a-tetrahydro-8-(trifluoromethyl)-, (4aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

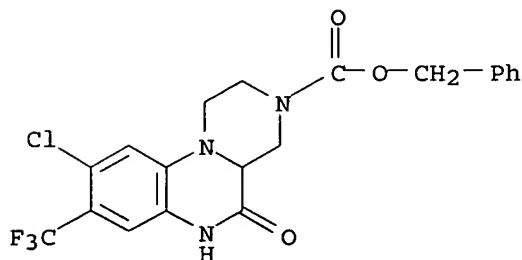


IT 276695-14-8P 276695-16-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydropyrazinoquinoxalinones as 5HT2c agonists)

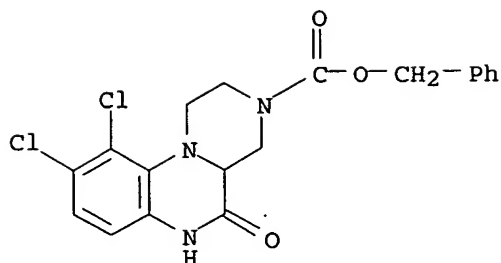
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CN 3H-Pyrazino[1,2-a]quinoxaline-3-carboxylic acid, 9-chloro-1,2,4,4a,5,6-hexahydro-5-oxo-8-(trifluoromethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 276695-16-0 HCAPLUS

CN 3H-Pyrazino[1,2-a]quinoxaline-3-carboxylic acid, 9,10-dichloro-1,2,4,4a,5,6-hexahydro-5-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil beilstein

=> fil beilstein

FILE 'BEILSTEIN' ENTERED AT 16:10:43 ON 28 MAR 2006

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FILE LAST UPDATED ON MARCH 15, 2006

FILE COVERS 1771 TO 2006.

*** FILE CONTAINS 9,516,393 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

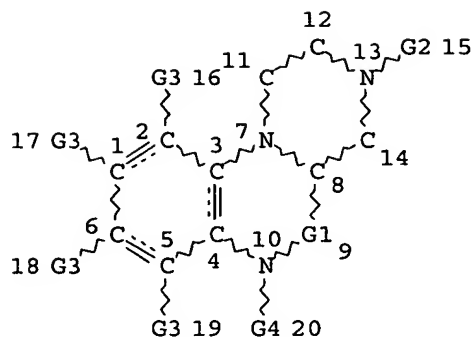
 * PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
 * SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
 * ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
 * ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
 * FOR PRICE INFORMATION SEE HELP COST *

NEW

* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.
 * NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

=> d que stat 115

L1 STR



CH~Ak
@21 22

Ak~C~Ak
23 @24 25

C≡O
@26 27

O~Ak
@28 29

Ak~X
@30 31

O~Ak~X
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O≡C~Ak
35 @36 37

O≡C~Cy
38 @39 40

VAR G1=CH2/21/24/26

VAR G2=H/AK

VAR G3=H/AK/28/X/30/32

VAR G4=H/AK/36/39

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 40

DEFAULT ECLEVEL IS LIMITED

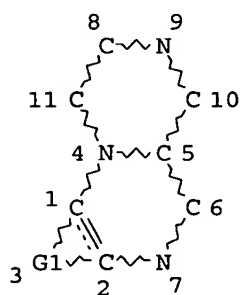
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

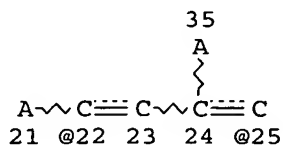
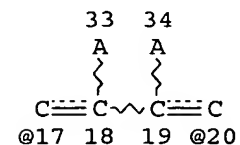
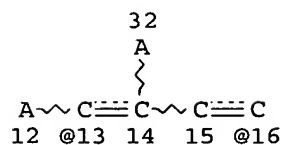
NUMBER OF NODES IS 40

STEREO ATTRIBUTES: NONE

L3 STR



A~C≡C~C~C~A
26 @27 28 29 @30 31



VAR G1=27-1 30-2/17-1 20-2/13-1 16-2/16-1 13-2/22-1 25-2/25-1 22-2

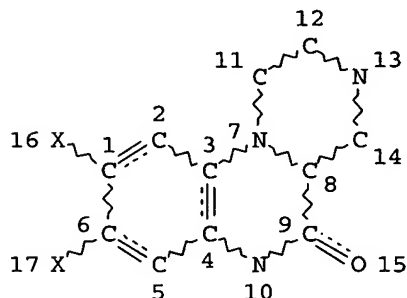
NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 35

STEREO ATTRIBUTES: NONE
L6 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L11 24 SEA FILE=BEILSTEIN SSS FUL L1 AND L3
L12 24 SEA FILE=BEILSTEIN ABB=ON PLU=ON L11/COM
L14 9 SEA FILE=BEILSTEIN SUB=L12 SSS FUL L6
L15 15 SEA FILE=BEILSTEIN ABB=ON PLU=ON L12 NOT L14

=> d l15 ide allref 1-15

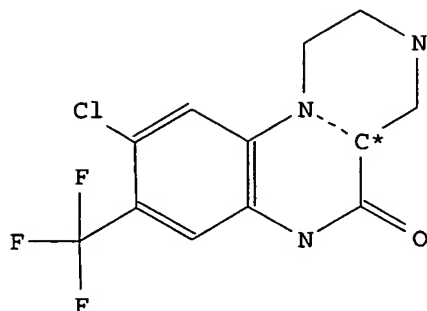
L15 ANSWER 1 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN):	8737566
Chemical Name (CN):	(R)-9-chloro-8-trifluoromethyl-2,3,4,4a-tetrahydro-1H-pyrazino<1,2-a>quinoxalin-5(6H)-one hydrochloride
Autonom Name (AUN):	9-chloro-8-trifluoromethyl-2,3,4,4a-tetrahydro-1H,6H-pyrazino<1,2-a>quinoxalin-5-one; hydrochloride
Fragm. Molec. Formula (FMF):	C12 H11 Cl F3 N3 O , Cl H
Molecular Formula (MF):	C12 H11 Cl F3 N3 O . Cl H
Molecular Weight (MW):	305.69, 36.46
Fragment BRN (FBRN):	8712886, 1098214
Lawson Number (LN):	30112
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7400088
Tautomer ID (TAUTID):	8215865
Entry Date (DED):	2001/04/26
Update Date (DUPD):	2001/04/26

CM 1

FBRN 8712886

FMF C12 H11 Cl F3 N3 O



CM 2

FBRN 1098214

FMF Cl H

Field Availability:

Code	Name	Occurrence
=====	=====	=====
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
FMF	Fragment Molecular Formula	2
MF	Molecular Formula	1
FW	Formular Weight	2
FBRN	Fragment BRN	2
LN	Lawson Number	1
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
IR	Infrared Spectrum	1
MS	Mass Spectrum	1
NMR	Nuclear Magnetic Resonance	2
ORP	Optical Rotatory Power	1

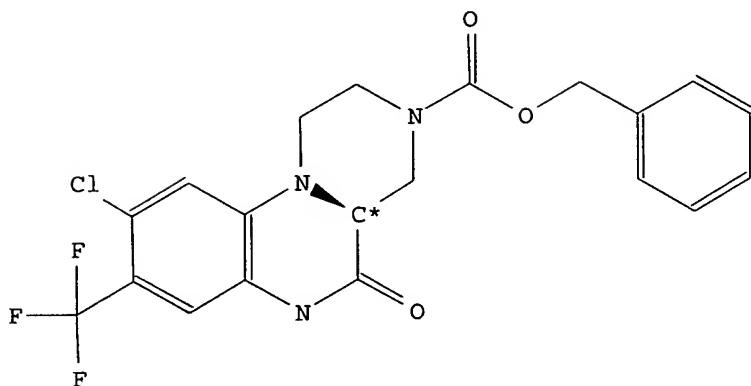
All References:

ALLREF

1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael; Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

L15 ANSWER 2 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 8730851
 Chemical Name (CN): 9-chloro-5-oxo-8-trifluoromethyl-
 1,2,4,4a,5,6-hexahydro-pyrazino<1,2-
 a>quinoxaline-3-carboxylic acid benzyl
 ester
 Autonom Name (AUN): 9-chloro-5-oxo-8-trifluoromethyl-
 1,2,4,4a,5,6-hexahydro-pyrazino<1,2-
 a>quinoxaline-3-carboxylic acid benzyl
 ester
 Molec. Formula (MF): C20 H17 Cl F3 N3 O3
 Molecular Weight (MW): 439.82
 Lawson Number (LN): 30112, 5228, 1762
 File Segment (FS): Stereo compound
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 7394653
 Tautomer ID (TAUTID): 8208159
 Entry Date (DED): 2001/04/26
 Update Date (DUPD): 2001/04/26



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

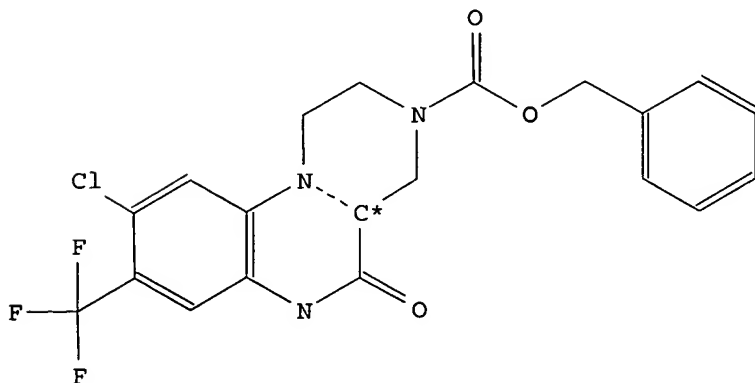
All References:

ALLREF

1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael; Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

L15 ANSWER 3 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 8730850
 Chemical Name (CN): 9-chloro-5-oxo-8-trifluoromethyl-1,2,4,4a,5,6-hexahydro-pyrazino<1,2-a>quinoxaline-3-carboxylic acid benzyl ester
 Autonom Name (AUN): 9-chloro-5-oxo-8-trifluoromethyl-1,2,4,4a,5,6-hexahydro-pyrazino<1,2-a>quinoxaline-3-carboxylic acid benzyl ester
 Molec. Formula (MF): C20 H17 Cl F3 N3 O3
 Molecular Weight (MW): 439.82
 Lawson Number (LN): 30112, 5228, 1762
 File Segment (FS): Stereo compound
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 7394653
 Tautomer ID (TAUTID): 8208159
 Entry Date (DED): 2001/04/26
 Update Date (DUPD): 2001/04/26



Field Availability:

Code	Name	Occurrence
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=====
BRN      Beilstein Records      1
CN       Chemical Name         1
AUN      Autonomname           1
MF       Molecular Formula      1
FW       Formular Weight       1
LN       Lawson Number         3
FS       File Segment          1
CTYPE    Compound Type         1
CONSID   Constitution ID       1
TAUTID   Tautomer ID           1
DED      Entry Date            1
DUPD     Update Date           1

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This substance also occurs in Reaction Documents:

```

Code      Name                      Occurrence
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RX        Reaction Documents        2
RXREA     Substance is Reaction Reactant 1
RXPRO     Substance is Reaction Product  1

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All References:

ALLREF

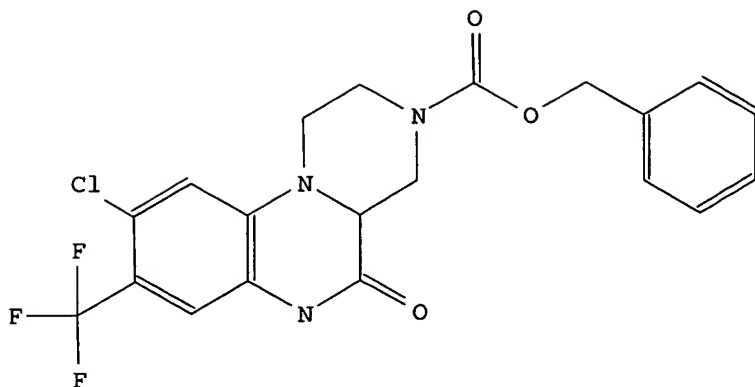
1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael; Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

L15 ANSWER 4 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

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Beilstein Records (BRN):      8730849
Chemical Name (CN):          9-chloro-5-oxo-8-trifluoromethyl-
                              1,2,4,4a,5,6-hexahydro-pyrazino<1,2-
                              a>quinoxaline-3-carboxylic acid benzyl
                              ester
Autonom Name (AUN):          9-chloro-5-oxo-8-trifluoromethyl-
                              1,2,4,4a,5,6-hexahydro-pyrazino<1,2-
                              a>quinoxaline-3-carboxylic acid benzyl
                              ester
Molec. Formula (MF):         C20 H17 Cl F3 N3 O3
Molecular Weight (MW):       439.82
Lawson Number (LN):          30112, 5228, 1762
Compound Type (CTYPE):       heterocyclic
Constitution ID (CONSID):    7394653
Tautomer ID (TAUTID):        8208159
Entry Date (DED):            2001/04/26
Update Date (DUPD):          2001/04/26

```

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

All References:

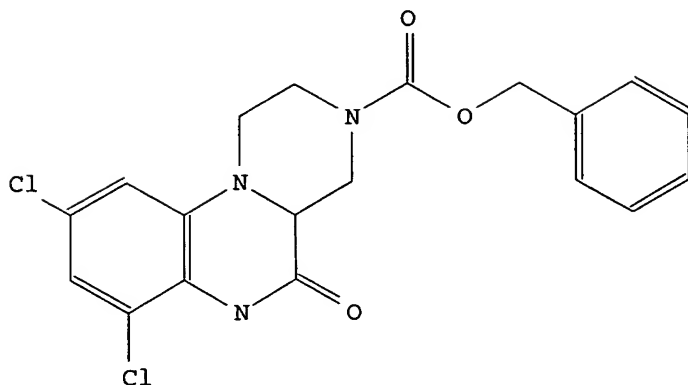
ALLREF

1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael; Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

L15 ANSWER 5 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 8723086
 Chemical Name (CN): 7,9-dichloro-5-oxo-1,2,4,4a,5,6-hexahydro-pyrazino<1,2-a>quinoxaline-3-carboxylic acid benzyl ester
 Autonom Name (AUN): 7,9-dichloro-5-oxo-1,2,4,4a,5,6-hexahydro-pyrazino<1,2-a>quinoxaline-3-carboxylic

Molec. Formula (MF): acid benzyl ester
 C19 H17 Cl2 N3 O3
 Molecular Weight (MW): 406.27
 Lawson Number (LN): 30117, 5228, 1762
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 7388395
 Tautomer ID (TAUTID): 8201013
 Entry Date (DED): 2001/04/26
 Update Date (DUPD): 2001/04/26



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

All References:

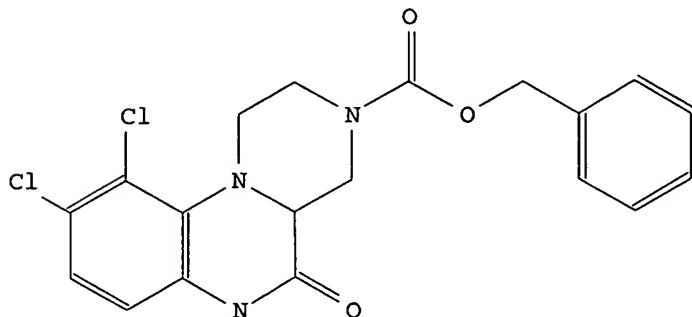
ALLREF

1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael;

Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

L15 ANSWER 6 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 8722675
 Chemical Name (CN): 9,10-dichloro-5-oxo-1,2,4,4a,5,6-hexahydro-pyrazino<1,2-a>quinoxaline-3-carboxylic acid benzyl ester
 Autonom Name (AUN): 9,10-dichloro-5-oxo-1,2,4,4a,5,6-hexahydro-pyrazino<1,2-a>quinoxaline-3-carboxylic acid benzyl ester
 Molec. Formula (MF): C19 H17 Cl2 N3 O3
 Molecular Weight (MW): 406.27
 Lawson Number (LN): 30117, 5228, 1762
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 7388086
 Tautomer ID (TAUTID): 8202854
 Entry Date (DED): 2001/04/26
 Update Date (DUPD): 2001/04/26



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
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```
=====
RX          Reaction Documents                2
RXREA       Substance is Reaction Reactant    1
RXPRO       Substance is Reaction Product     1
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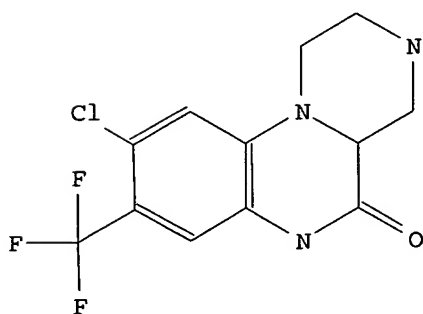
All References:

ALLREF

1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael; Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

L15 ANSWER 7 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

```
Beilstein Records (BRN):      8712888
Chemical Name (CN):           9-chloro-8-trifluoromethyl-2,3,4,4a-
                                tetrahydro-1H-pyrazino<1,2-a>quinoxalin-
                                5(6H)-one
Autonom Name (AUN):           9-chloro-8-trifluoromethyl-2,3,4,4a-
                                tetrahydro-1H,6H-pyrazino<1,2-a>quinoxalin-
                                5-one
Molec. Formula (MF):          C12 H11 Cl F3 N3 O
Molecular Weight (MW):        305.69
Lawson Number (LN):           30112
Compound Type (CTYPE):        heterocyclic
Constitution ID (CONSID):      7379927
Tautomer ID (TAUTID):         8196962
Entry Date (DED):             2001/04/26
Update Date (DUPD):           2001/04/26
```



Field Availability:

```
Code      Name                                     Occurrence
=====
BRN       Beilstein Records                         1
CN        Chemical Name                                 1
AUN       Autonomname                                       1
MF        Molecular Formula                               1
FW        Formular Weight                                 1
LN        Lawson Number                                  1
```

CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
PHARM	Pharmacological Data	3

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

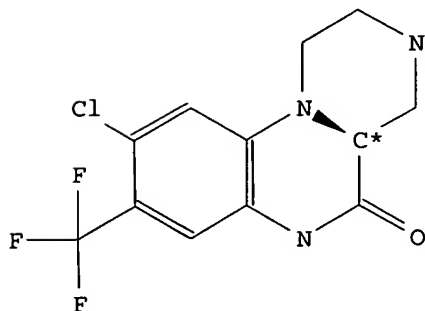
All References:

ALLREF

1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael; Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

L15 ANSWER 8 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN):	8712887
Chemical Name (CN):	(S)-9-chloro-8-trifluoromethyl-2,3,4,4a-tetrahydro-1H-pyrazino<1,2-a>quinoxalin-5(6H)-one
Autonom Name (AUN):	9-chloro-8-trifluoromethyl-2,3,4,4a-tetrahydro-1H,6H-pyrazino<1,2-a>quinoxalin-5-one
Molec. Formula (MF):	C12 H11 Cl F3 N3 O
Molecular Weight (MW):	305.69
Lawson Number (LN):	30112
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7379927
Tautomer ID (TAUTID):	8196962
Entry Date (DED):	2001/04/26
Update Date (DUPD):	2001/04/26



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	1
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
PHARM	Pharmacological Data	3

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

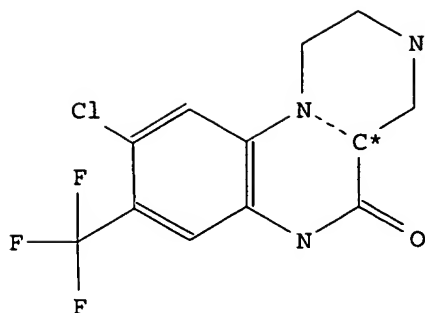
All References:

ALLREF

1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael; Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

L15 ANSWER 9 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN):	8712886
Chemical Name (CN):	(R)-9-chloro-8-trifluoromethyl-2,3,4,4a-tetrahydro-1H-pyrazino<1,2-a>quinoxalin-5(6H)-one
Autonom Name (AUN):	9-chloro-8-trifluoromethyl-2,3,4,4a-tetrahydro-1H,6H-pyrazino<1,2-a>quinoxalin-5-one
Molec. Formula (MF):	C12 H11 Cl F3 N3 O
Molecular Weight (MW):	305.69
Lawson Number (LN):	30112
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7379927
Tautomer ID (TAUTID):	8196962
Entry Date (DED):	2001/04/26
Update Date (DUPD):	2001/04/26



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
LSF	Linearized Structure Formula	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	1
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
CDER	Chemical Derivative	1
PHARM	Pharmacological Data	3

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

All References:

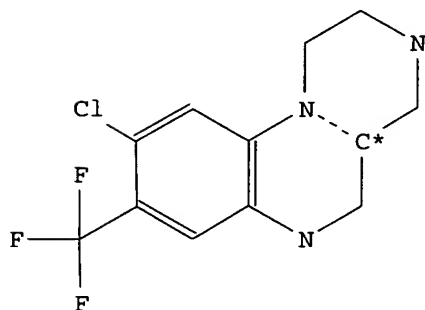
ALLREF

1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael; Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

L15 ANSWER 10 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 8710105
 Chemical Name (CN): 9-chloro-8-trifluoromethyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino<1,2-a>quinoxaline
 Autonom Name (AUN): 9-chloro-8-trifluoromethyl-2,3,4,4a,5,6-hexahydro-1H-pyrazino<1,2-a>quinoxaline

Molec. Formula (MF): C12 H13 Cl F3 N3
 Molecular Weight (MW): 291.70
 Lawson Number (LN): 30023
 File Segment (FS): Stereo compound
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 7377640
 Tautomer ID (TAUTID): 8193797
 Entry Date (DED): 2001/04/26
 Update Date (DUPD): 2001/04/26



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	1
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
PHARM	Pharmacological Data	3

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

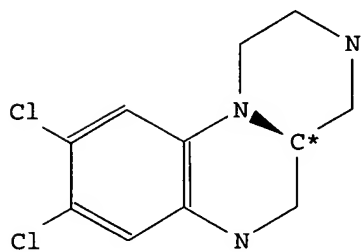
All References:

ALLREF

1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael; Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

L15 ANSWER 11 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 8702196
Chemical Name (CN): 8,9-dichloro-2,3,4,4a,5,6-hexahydro-1H-pyrazino<1,2-a>quinoxaline
Autonom Name (AUN): 8,9-dichloro-2,3,4,4a,5,6-hexahydro-1H-pyrazino<1,2-a>quinoxaline
Molec. Formula (MF): C11 H13 Cl2 N3
Molecular Weight (MW): 258.15
Lawson Number (LN): 30020
File Segment (FS): Stereo compound
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 7368314
Tautomer ID (TAUTID): 8187436
Entry Date (DED): 2001/04/26
Update Date (DUPD): 2001/04/26



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	1
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
PHARM	Pharmacological Data	3

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

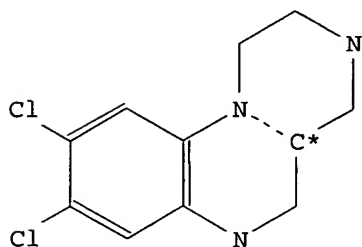
All References:

ALLREF

1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael; Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

L15 ANSWER 12 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 8702195
 Chemical Name (CN): 8,9-dichloro-2,3,4,4a,5,6-hexahydro-1H-pyrazino<1,2-a>quinoxaline
 Autonom Name (AUN): 8,9-dichloro-2,3,4,4a,5,6-hexahydro-1H-pyrazino<1,2-a>quinoxaline
 Molec. Formula (MF): C11 H13 Cl2 N3
 Molecular Weight (MW): 258.15
 Lawson Number (LN): 30020
 File Segment (FS): Stereo compound
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 7368314
 Tautomer ID (TAUTID): 8187435
 Entry Date (DED): 2001/04/26
 Update Date (DUPD): 2001/04/26



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	1
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
PHARM	Pharmacological Data	3

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
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RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

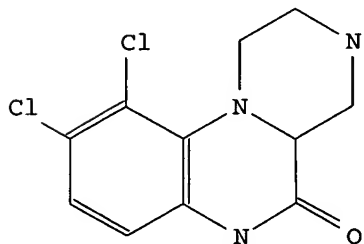
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1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael; Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

L15 ANSWER 13 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 8701784
 Chemical Name (CN): 9,10-dichloro-2,3,4,4a-tetrahydro-1H,6H-pyrazino<1,2-a>quinoxalin-5-one
 Autonom Name (AUN): 9,10-dichloro-2,3,4,4a-tetrahydro-1H,6H-pyrazino<1,2-a>quinoxalin-5-one
 Molec. Formula (MF): C11 H11 Cl2 N3 O
 Molecular Weight (MW): 272.13
 Lawson Number (LN): 30117
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 7370406
 Tautomer ID (TAUTID): 8190578
 Entry Date (DED): 2001/04/26
 Update Date (DUPD): 2001/04/26



Field Availability:

Code	Name	Occurrence
=====		
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1

DED	Entry Date	1
DUPD	Update Date	1
PHARM	Pharmacological Data	2

This substance also occurs in Reaction Documents:

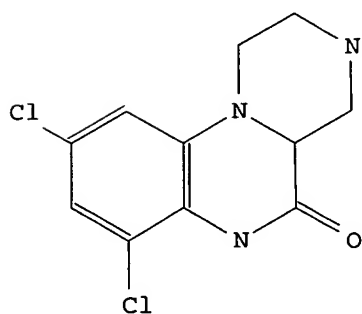
Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

All References:
ALLREF

1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael; Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

L15 ANSWER 14 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN):	8701132
Chemical Name (CN):	7,9-dichloro-2,3,4,4a-tetrahydro-1H,6H-pyrazino<1,2-a>quinoxalin-5-one
Autonom Name (AUN):	7,9-dichloro-2,3,4,4a-tetrahydro-1H,6H-pyrazino<1,2-a>quinoxalin-5-one
Molec. Formula (MF):	C11 H11 Cl2 N3 O
Molecular Weight (MW):	272.13
Lawson Number (LN):	30117
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7370035
Tautomer ID (TAUTID):	8188796
Entry Date (DED):	2001/04/26
Update Date (DUPD):	2001/04/26



Field Availability:

Code	Name	Occurrence
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CN	Chemical Name	1

AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	1
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
PHARM	Pharmacological Data	2

This substance also occurs in Reaction Documents:

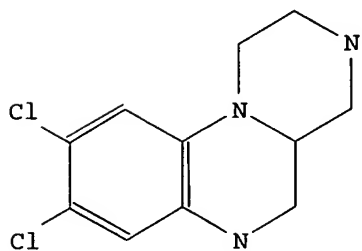
Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

All References:
ALLREF

1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael; Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

L15 ANSWER 15 OF 15 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN):	8699499
Chemical Name (CN):	8,9-dichloro-2,3,4,4a,5,6-hexahydro-1H-pyrazino<1,2-a>quinoxaline
Autonom Name (AUN):	8,9-dichloro-2,3,4,4a,5,6-hexahydro-1H-pyrazino<1,2-a>quinoxaline
Molec. Formula (MF):	C11 H13 Cl2 N3
Molecular Weight (MW):	258.15
Lawson Number (LN):	30020
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7368314
Tautomer ID (TAUTID):	8187437
Entry Date (DED):	2001/04/26
Update Date (DUPD):	2001/04/26



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	1
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
PHARM	Pharmacological Data	3

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

All References:

ALLREF

1. Welmaker, Gregory S.; Nelson, James A.; Sabalski, Joan E.; Sabb, Annmarie L.; Potoski, John R.; Graziano, Denise; Kagan, Michael; Coupet, Joseph; Dunlop, John; Mazandarani, Hossein; Rosenzweig-Lipson, Sharon; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 10(17), <2000>, 1991 - 1994; BABS-6267477

=> fil marpat

FILE 'MARPAT' ENTERED AT 16:11:51 ON 28 MAR 2006

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FILE CONTENT: 1961-PRESENT VOL 144 ISS 10 (20060324/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

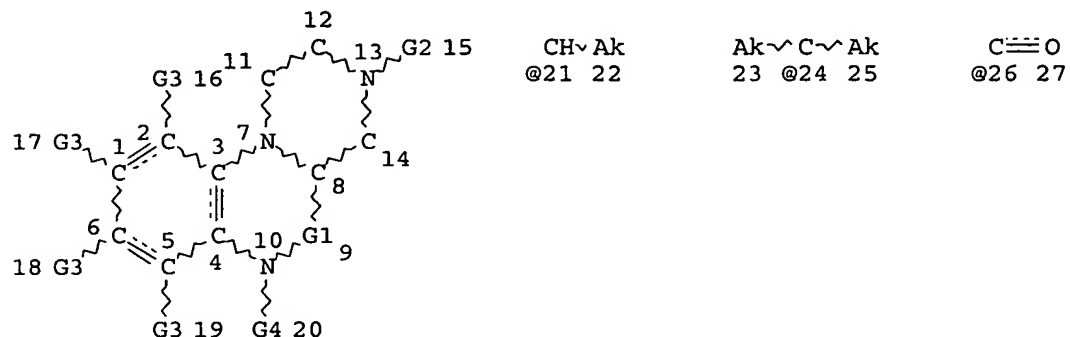
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EP	1614691	11	JAN	2006
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GB	2416167	18	JAN	2006
FR	2873371	27	JAN	2006
RU	2267521	10	JAN	2006
CA	2472818	30	DEC	2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> d que stat l22

L1 STR



O~Ak @28 29

Ak~X @30 31

O~Ak~X @32 33 34

O≡C~Ak 35 @36 37

O≡C~Cy 38 @39 40

VAR G1=CH2/21/24/26

VAR G2=H/AK

VAR G3=H/AK/28/X/30/32

VAR G4=H/AK/36/39

NODE ATTRIBUTES:

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GGCAT IS UNS AT 40

DEFAULT ECLEVEL IS LIMITED

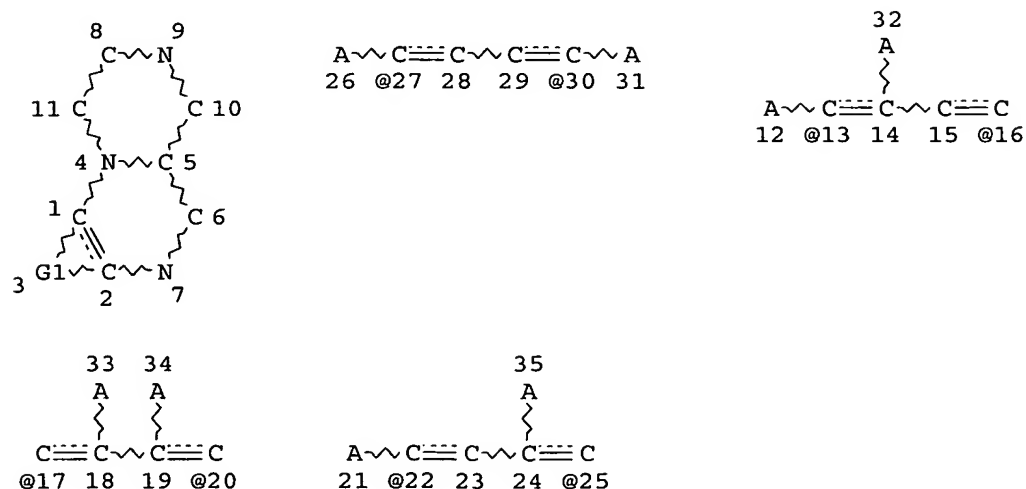
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 40

STEREO ATTRIBUTES: NONE

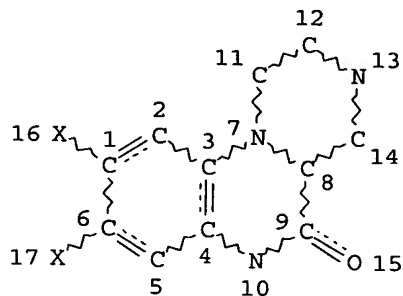
L3 STR



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 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 35

STEREO ATTRIBUTES: NONE
 L5 37 SEA FILE=REGISTRY SSS FUL L1 AND L3
 L6 STR



NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE
 L7 14 SEA FILE=REGISTRY SUB=L5 SSS FUL L6
 L8 23 SEA FILE=REGISTRY ABB=ON PLU=ON L5 NOT L7
 L9 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L8
 L17 12 SEA FILE=MARPAT SSS FUL L1
 L19 9 SEA FILE=MARPAT SUB=L17 SSS FUL L3
 L20 6 SEA FILE=MARPAT SUB=L19 SSS FUL L6
 L21 3 SEA FILE=MARPAT ABB=ON PLU=ON L19 NOT L20
 L22 3 SEA FILE=MARPAT ABB=ON PLU=ON L21 NOT L9

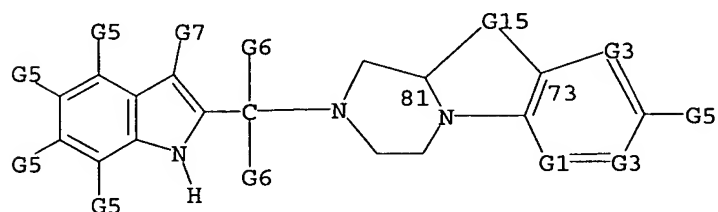
=> d l22 ibib abs qhit 1-3

L22 ANSWER 1 OF 3 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 136:145264 MARPAT
 TITLE: Dopamine D4 ligands for the treatment of
 novelty-seeking disorders
 INVENTOR(S): Fliri, Anton Franz Josef; Sanner, Mark Allen; Seymour,
 Patricia Ann; Zorn, Stevin Howard
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: Eur. Pat. Appl., 27 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1177792	A2	20020206	EP 2001-306163	20010718
EP 1177792	A3	20021023		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002104969	A2	20020410	JP 2001-225529	20010726
US 2002049209	A1	20020425	US 2001-915605	20010726
US 6548502	B2	20030415		
US 2003158208	A1	20030821	US 2003-361293	20030210
US 2004116443	A1	20040617	US 2003-731265	20031209
PRIORITY APPLN. INFO.:			US 2000-221268P	20000727
			US 2001-915605	20010726
			US 2003-361293	20030210

AB The invention discloses the use of a dopamine D4 receptor ligand in the manufacture of a medicament for the treatment or prevention of a novelty-seeking disorder, particularly pathol. gambling, attention deficit disorder with hyperactivity disorder, substance addiction, drug addiction, alc. addiction and sex addiction.

MSTR 5B



G1 = CH
G3 = 34

C—G9
34

G5 = CF3
G9 = CF3
G15 = 103-81 104-73

G16-G16
103 104

G16 = CH2 / NH

Patent location:

Note:

Note:

claim 1

or pharmaceutically acceptable salts

substitution is restricted

L22 ANSWER 2 OF 3 MARPAT COPYRIGHT 2006 ACS on STN

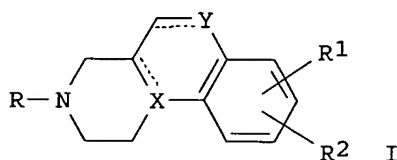
ACCESSION NUMBER: 128:3611 MARPAT

TITLE: Preparation of N-(benzisoquinolylalkyl) carboxamides and analogs as 5-HT3 receptor ligands

INVENTOR(S): Chen, Xi; Yuan, Jun; Thurkauf, Andrew
 PATENT ASSIGNEE(S): Neurogen Corp., USA; Chen, Xi; Yuan, Jun; Thurkauf, Andrew
 SOURCE: PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

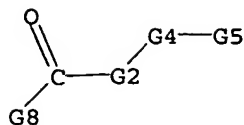
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740015	A1	19971030	WO 1997-US6676	19970423
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5688950	A	19971118	US 1996-636662	19960423
CA 2251989	AA	19971030	CA 1997-2251989	19970423
AU 9727382	A1	19971112	AU 1997-27382	19970423
JP 11508280	T2	19990721	JP 1997-538261	19970423
EP 1021415	A1	20000726	EP 1997-921313	19970423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 5910586	A	19990608	US 1997-965275	19971106
KR 2000010605	A	20000215	KR 1998-708491	19981023
PRIORITY APPLN. INFO.:			US 1996-636662	19960423
			WO 1997-US6676	19970423

GI

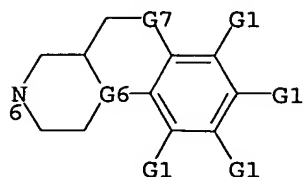


AB Title compds. [I; R = ZNR3COR4; R1,R2 = H, halo, CF3, alkoxy, etc.; R3 = H or alkyl; R4 = (aza)biphenyl, naphthyl, dibenzofuranyl, etc.; X = N, C, CH; Y = methylene, O, S, NH (sic); Z = alkylene; dashed lines undefined] were prepared. Thus, 1,2,3,4-tetrahydrobenz[f]isoquinoline was condensed with N-(4-bromobutyl)phthalimide and the hydrazinolized product amidated by quinoline-3-carbonyl chloride to give I [R = (CH2)4NHCOR4, R1 = R2 = H, R4 = 3-quinolyl, X = C, Y = CH, dashed lines = addnl. bonds]. Data for biol. activity of I were given.

MSTR 1



G1 = CN
G5 = 6



G6 = N
G7 = NH

Derivative:

Patent location:

Note:

and pharmaceutically acceptable acid addition salts
claim 1
substitution is restricted

L22 ANSWER 3 OF 3 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 93:239460 MARPAT

TITLE: 3-(Pyridinylalkyl and piperidinylalkyl)-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-a]quinoxalin-5(6H)-ones

INVENTOR(S): Freed, Meier E.

PATENT ASSIGNEE(S): American Home Products Corp., USA

SOURCE: U.S., 10 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

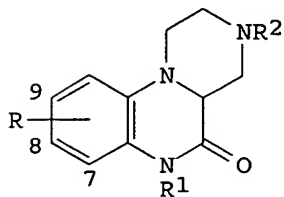
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4203987	A	19800520	US 1979-40609	19790521
GB 2050377	A	19810107	GB 1980-16044	19800515
GB 2050377	B2	19830427		

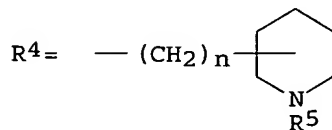
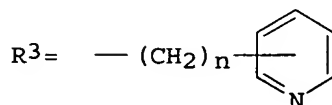
PRIORITY APPLN. INFO.:

US 1979-40609 19790521

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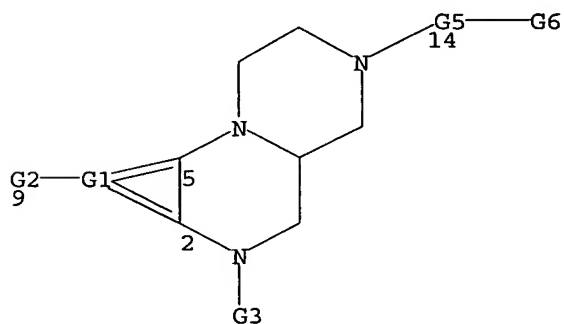


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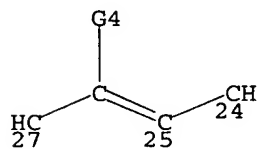


AB The pyrazino[1,2-a]quinoxalin-5(6H)-ones I [R = H, alkyl, alkoxy, Cl, F, CF₃ at C-7, C-8, or C-9; R₁ = H, alkyl; R₂ = R₃, R₄ (R₅ = H, alkyl, phenylalkyl, diphenylalkyl; n = 1-8)], useful as antihypertensives, were prepared by condensation of I (R₂ = H) with R₃X (X = halide) in the presence of an acid scavenger and refluxing. Hydrogenation of I (R₂ = R₃) gave I (R₂ = R₄). I (R = R₁ = R₂ = H) and 4-picolyl chloride hydrochloride in the presence of K₂CO₃ and Et₃N in Me₂CO was refluxed 40 h. I.2HCl [R = R₁ = H, R₂ = (4-pyridyl)methyl] was obtained and had marked antihypertensive activity at 75 mg/kg in the rat.

MSTR 1



G1 = 24-2 25-9 27-5



G2 = CF₃

G4 = Cl

Patent location:

Note:

claims

record may include structures from disclosure